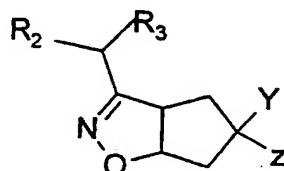


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Claims:

What is claimed is:

1. A method for preparing isoxazoline compounds
5 represented by the formula 4:

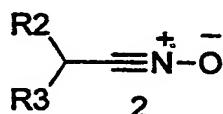


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wherein each of R₂ and R₃ individually is alkyl or alkenyl of 1-8 carbon atoms, cycloalkyl or substituted cycloalkyl of 4-8 carbon atoms, arylalkyl or substituted arylalkyl, or H provided at least one of R₂ and R₃ is other than H; each of Y and Z individually is COOR₁ or H provided that at least one of Y and Z is other than H;

which comprises reacting a nitrile oxide of the formula

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41 *having*
with a cyclopentane derivative *of* the formula 3

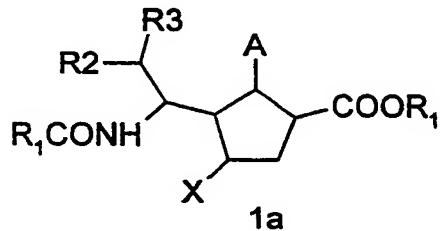
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3

to produce said isoxazoline compound.

10 2. A method for preparing a substituted cyclopentane
15 compound represented by formula 1a:



wherein each R₁ individually is alkyl or substituted alkyl,
20 alkenyl or substituted alkenyl of 1-6 carbon atoms, or H;
each of R₂ and R₃ individually is alkyl or alkenyl of 1-8
carbon atoms, cycloalkyl or substituted cycloalkyl of 4-8
carbon atoms, aryl or substituted aryl, arylalkyl or
substituted arylalkyl, or H provided at least one of R₂ and
25 R₃ is other than H; X is NHR₁, NHC(=NH)NHR₄ where R₄ is H,
alkyl of 1-6 carbon atoms, OR₁, COR₁, COOR₁ CN or NO₂; A is

H; and pharmaceutically acceptable salts thereof;
which comprises:

obtaining an isoxazoline compound of formula 4
according to the process of claim 1;

5 reducing said isoxazoline compound of formula 4 to form
an aminoalcohol derivative according to formula 5;

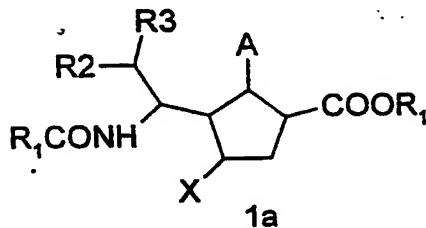
reacting said aminoalcohol compound of formula 5 with
an anhydride or acid halide of a carboxylic acid of the
formula: R_1COOH to produce an acylated compound represented
by formula 6;

converting the alcohol group of said acylated compound
into a leaving group; H_2O ?

displacing said leaving group with ammonia or guanidine
to obtain said compound of formula 1a; or displacing said
leaving group with an azide ion and then converting to a
guanidine with a NH_2 compound to obtain said compound of
formula 1a.

3. A method for preparing a substituted cyclopentane

20 compound represented by formula 1a:

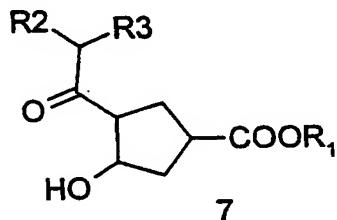


wherein each R_1 individually is alkyl or substituted alkyl, alkenyl or substituted alkenyl of 1-6 carbon atoms, or H; each of R_2 and R_3 individually is alkyl or alkenyl of 1-8 carbon atoms, cycloalkyl or substituted cycloalkyl of 4-8 carbon atoms, aryl or substituted aryl, arylalkyl or substituted arylalkyl, or H provided at least one of R_2 and R_3 is other than H; X is NHR_1 , $NHC(=NH)NHR_4$ where R_4 is H, alkyl of 1-6 carbon atoms, OR_1 , COR_1 , $COOR_1$ CN or NO_2 ; A is H; and pharmaceutically acceptable salts thereof;

which comprises:

obtaining an isoxazoline compound of formula 4 according to the process of claim 1;

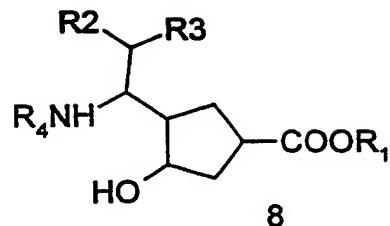
converting said isoxazoline compound of formula 4 to a ketone according to formula 7



by opening its isoxazoline ring;

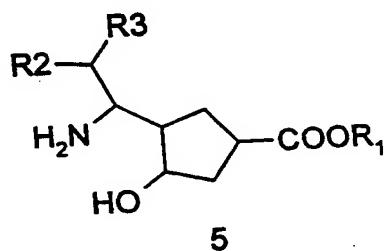
subjecting said ketone of formula 7 to reductive amination to thereby form a compound according to formula 8

How? Spec?



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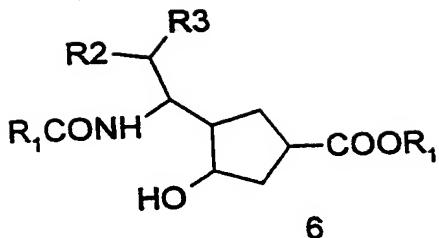
wherein R_4 is H or a substituted benzyl; when R_4 is a substituted benzyl, R_4 is removed to give the aminoalcohol compound of formula 5;



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reacting said aminoalcohol compound of formula 5 with an anhydride or acid halide of a carboxylic acid of the formula R_1COOH to produce an acylated compound represented by formula 6:

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converting the alcohol group of said acylated compound into a leaving group;

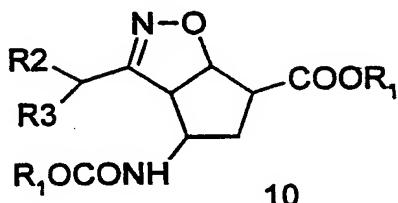
displacing said leaving group with ammonia or guanidine to obtain said compound of formula 1a; or displacing said leaving group with an azide ion and then converting to a guanidine with a NH₂ compound to obtain said compound of formula 1a.

4. A method for preparing isoxazoline compounds

represented by the formula 10:

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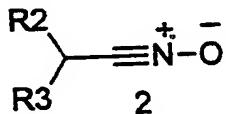
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wherein each R_1 individually is alkyl or substituted alkyl, alkenyl or substituted alkenyl of 1-6 carbon atoms, or H;

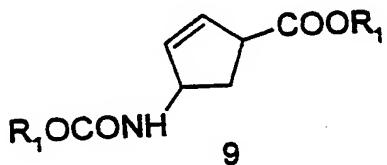
5 each of R_2 and R_3 individually is alkyl or alkenyl of 1-8 carbon atoms, cycloalkyl or substituted cycloalkyl of 4-8 carbon atoms, aryl or substituted aryl, arylalkyl or substituted arylalkyl, or H provided at least one of R_2 and R_3 is other than H;

which comprises reacting a nitrite oxide of formula 2



with a cyclopentane derivative of the formula 9

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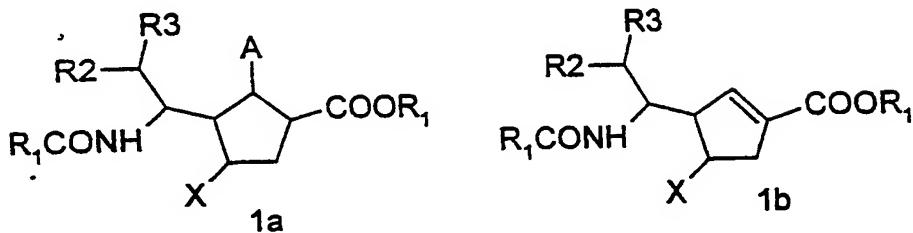


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to produce said isoxazoline compound.

5. A method for preparing a substituted cyclopentane compound represented by formulae 1a or 1b

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wherein each R_1 individually is alkyl or substituted alkyl, alkenyl or substituted alkenyl of 1-6 carbon atoms, or H; each of R_2 and R_3 individually is alkyl or alkenyl of 1-8 carbon atoms, cycloalkyl or substituted cycloalkyl of 4-8 carbon atoms, aryl or substituted aryl, arylalkyl or substituted arylalkyl, or H provided at least one of R_2 and R_3 is other than H; X is NHR_1 , $\text{NHC}(\text{=NH})\text{NHR}_4$ where R_4 is H, alkyl of 1-6 carbon atoms, OR_1 , COR_1 , COOR_1 , CN or NO_2 ; A is H, F, OR_1 , OCOR_1 , $-\text{OOCNHR}_1$, NHR_1 , or NHCOOR_1 ; and pharmaceutically acceptable salts thereof;

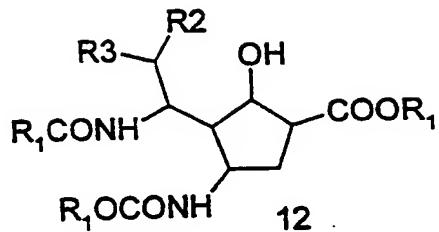
which comprises:

25 obtaining an isoxazoline compound of formula 10
according to claim 4;

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converting said isoxazoline to a compound of formula 12

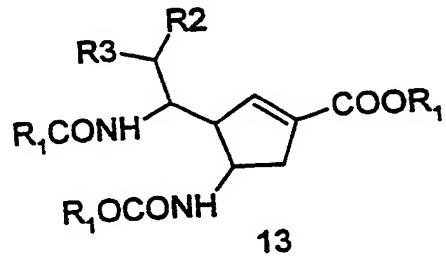
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and dehydrating said compound of formula 12 to produce a compound of formula 13

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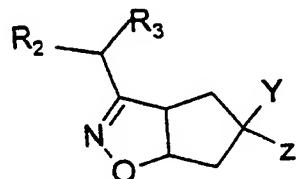
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or converting the OH groups of said compound of formula 12

to a group selected from the group of F, OR, OCOR, NHR₁ or NHCOOR, except when said group is OR₁, R₁ is other than H.

6. An isoxazoline derivative represented by the
5 following formula 4:

Intermediate



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wherein each of R₂ and R₃ individually is alkyl or alkenyl of 1-8 carbon atoms, cycloalkyl or substituted cycloalkyl of 4-8 carbon atoms, arylalkyl or substituted arylalkyl, or H provided at least one of R₂ and R₃ is other than H; each of Y and Z individually is COOR₁ or H provided that at least one of Y and Z is other than H.

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